7/15/04

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  May 12   EXTEND option available in structure searching
 NEWS  4  May 12   Polymer links for the POLYLINK command completed in REGISTRY
 NEWS  5  May 27   New UPM (Update Code Maximum) field for more efficient patent
                   SDIs in CAplus
 NEWS  6  May 27   CAplus super roles and document types searchable in REGISTRY
 NEWS  7  Jun 22   STN Patent Forums to be held July 19-22, 2004
 NEWS  8  Jun 28   Additional enzyme-catalyzed reactions added to CASREACT
 NEWS  9  Jun 28   ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG,
                   and WATER from CSA now available on STN(R)
 NEWS 10  Jul 12   BEILSTEIN enhanced with new display and select options,
                   resulting in a closer connection to BABS

 NEWS EXPRESS  MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:58:29 ON 15 JUL 2004

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:58:37 ON 15 JUL 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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```

10656934

7/15/04

Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 13 JUL 2004 HIGHEST RN 709042-93-3
DICTIONARY FILE UPDATES: 13 JUL 2004 HIGHEST RN 709042-93-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.26	1.47

FILE 'REGISTRY' ENTERED AT 15:00:15 ON 15 JUL 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 13 JUL 2004 HIGHEST RN 709042-93-3
DICTIONARY FILE UPDATES: 13 JUL 2004 HIGHEST RN 709042-93-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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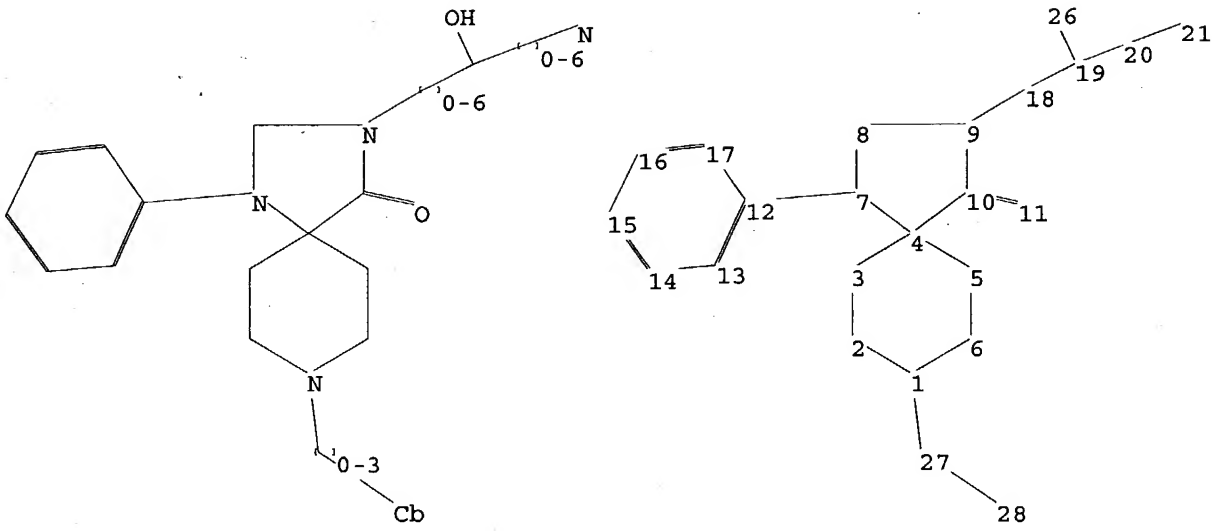
Uploading C:\Stnexp4 corrupted\QUERIES\10656934.str



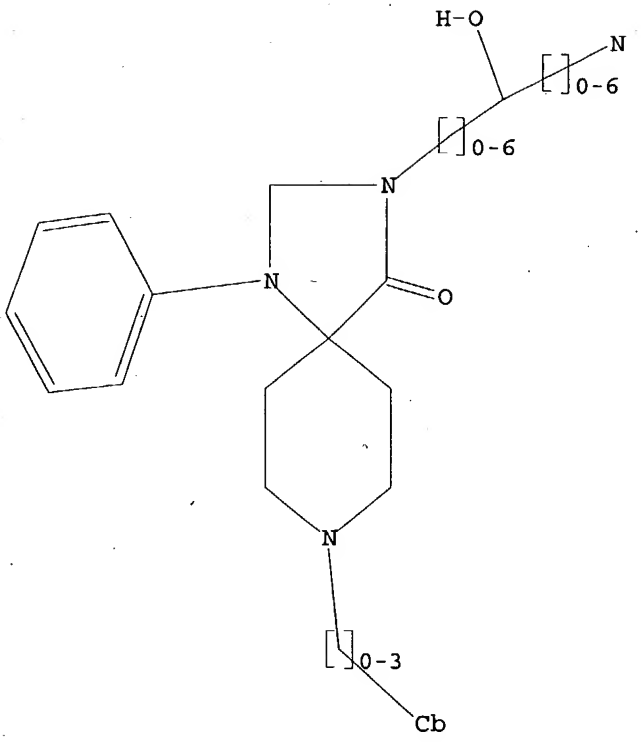
chain nodes :
11 18 19 20 21 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17
chain bonds :
1-27 7-12 9-18 10-11 18-19 19-20 19-26 20-21 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 4-10 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
exact/norm bonds :
1-2 1-6 1-27 2-3 3-4 4-5 4-7 4-10 5-6 7-8 7-12 8-9 9-10 9-18 10-11
19-26 20-21
exact bonds :
18-19 19-20 27-28
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 26:CLASS 27:CLASS 28:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

7/15/04



Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 15:00:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     33 TO ITERATE

100.0% PROCESSED      33 ITERATIONS                          20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          316 TO     1004
PROJECTED ANSWERS:             132 TO      668

L2            20 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 15:00:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    875 TO ITERATE

100.0% PROCESSED     875 ITERATIONS                         459 ANSWERS
SEARCH TIME: 00.00.01

L3           459 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.42     156.89
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7/15/04

FILE 'CAPLUS' ENTERED AT 15:00:48 ON 15 JUL 2004
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FILE COVERS 1907 - 15 Jul 2004 VOL 141 ISS 3
FILE LAST UPDATED: 14 Jul 2004 (20040714/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 13 L3

=> d abs bib fhitstr 1-13

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R0 = CRaRbCOHRa-(CRcRd)1-3X, (CRcRd)1-3COHRaCRaRbX; Ra, Rb = H, alkyl; Rc, Rd = H, OH, carboxy, etc.; X = NR1R2, CONR1R2, NR1, etc.; R1, R2 = H, alkyl, alkoxy, etc.; R3 = aryl, arylalkyl, heteroaryl, etc.; A = (R4)n; R4 = OH, alkyl, alkyl-OH; n = 0-2; B = (L1)m; L1 = alkyl, alkenyl with provisos; m = 0-1; C = (R5)p and (R6)q substituted cycloalkyl, partially unsatd. carbocyclyl (sic), aryl, etc.; R5 = OH, carboxy, halo, etc.; p = 0-5; R6 = (L2)0-1R7; q = 0-1; L2 = alkyl, alkenyl, alkynyl, etc.; R7 = aryl, partially unsatd. carbocyclyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared
For example, amination of epoxide II, e.g., prepared from cyclooctanecarboxaldehyde in 2-steps, with 4-aminopyridine afforded amino alc. III. In human ORL-1 receptor binding affinity assays, approx. 470-examples of compds. I exhibited IC50 values ranging from 0.10 - >10,000 nM, e.g., the IC50 value of triazaspiro[4.5]decan-4-one III was 8.73 nM. Compds. I are claimed useful for the treatment of anxiety, depression, migraine, etc..
AN 2004:220333 CAPLUS
DN 140:270854
TI Preparation of 1,3,8-triazaspiro[4.5]decan-4-ones for the treatment of ORL-1 receptor mediated disorders
IN Battista, Kathleen; Bignan, Gilles; Connolly, Peter J.; Reitz, Allen B.; Morgan Ross, Tina; Scott, Malcolm; Middleton, Steve A.; Orsini, Michael
PA Janssen Pharmaceutica, N.V., Belg.
SO PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

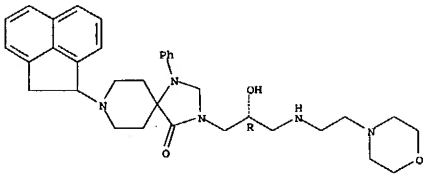
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004022558	A2	20040318	WO 2003-US27956	20030905
WO 2004022558	A3	20040521		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

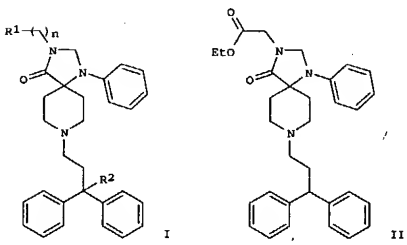
PRAI US 2002-409134P P 20020909
OS MARPAT 140:270854
IT **674456-04-3P**

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug target; prepn. of triazaspiro[4.5]decan-4-ones for the treatment of ORL-1 receptor mediated disorders)
RN 674456-04-3 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-(1,2-dihydro-1-acenaphthylenyl)-3-[(2R)-2-hydroxy-3-[[2-(4-morpholinyl)ethyl]amino]propyl]-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

II

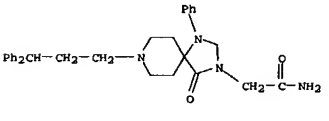
AB Title compds. I are disclosed [(a): R1 = H, COOH, COOR3, CONH2 or (di)alkyl deriva., cyano, NHSO2-alkyl, or 1H-tetrazol-5-yl; R2 = COOH, COOR3, or (CH2)m-1H-tetrazol-5-yl; R3 = alkyl, PhCH2, Ph, or cycloalkyl; n = 0 when R1 = H, and n = 1-4 when R1 ≠ H; m = 0-4; or (b): R1 = as above except H; R2 = COOH, COOR3, CONMe2, or (CH2)m-1H-tetrazol-5-yl; R3 = as above; n = 1-4; m = 0-4; or (c): R1 = COOH, CONH2 or (di)alkyl derivs., NHSO2-alkyl, or 1H-tetrazol-5-yl; R2 = H; n = 1-4; including pharmaceutically acceptable salts]. Also disclosed are methods for treating or preventing pain in animals, comprising administration of I, and methods for stimulating opioid-receptor function in cells expressing opioid receptors, using I. Approx. 25 specific compds. I were prepared and/or claimed individually. For instance, 8-(3,3-diphenylpropyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]decane was N-alkylated with ICH2CO2Et using NaH in DMF to give 74.7% invention compound II. In expts. using, e.g., recombinant HEK-293 cells expressing human opioid ORL-1 receptors, II bound to μ-opioid receptors with a binding constant Ki of 2.9 nM, and ORL-1 receptors with a Ki of 18 nM. II stimulated μ-opioid receptor function, and exhibited a μ GTP EC50 of 44 nM and a μ GTP Emax of 88%. II also stimulated ORL-1 opioid receptor function, and exhibited an ORL-1 GTP EC50 of 71 nM and an ORL-1 GTP Emax of 95%.
AN 2003:972047 CAPLUS
DN 140:16729
TI Triazaspiro compounds, particularly 8-(3,3-diphenylpropyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]decane derivatives, with opioid receptor stimulating activity, useful for treating or preventing pain
IN Chen, Zhengming; Victory, Sam F.
PA Euro-Celtique, S.A, Luxembourg
SO PCT Int. Appl., 81 pp.

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

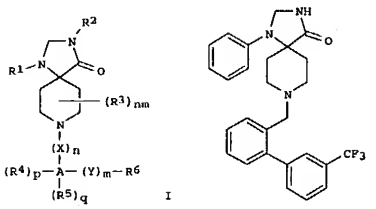
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003101953	A2	20031211	WO 2003-US17419	20030602

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-384807P P 20020531
US 2003-460219P P 20030403
US 2003-448627 A 20030529
OS MARPAT 140:16729
IT **630425-71-7P**, 2-[8-(3,3-Diphenylpropyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazaspiro compds. as opioid receptor stimulants useful for treating or preventing pain)
RN 630425-71-7 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(3,3-diphenylpropyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I II

AB The invention is directed to novel 1,3,8-triazaspiro[4.5]decan-4-one derivs. of general formula I, useful in the treatment of disorders and conditions mediated by the ORL-1 G-protein coupled receptor [wherein: R1 =
H, alkyl, (un)substituted aryl or aralkyl; R2 = H, alkenyl, alkynyl, (un)substituted alkyl, aryl, cycloalkyl, partially unsatd. carbocyclyl, heteroaryl; nm = 0-2; R3 = alkyl or hydroxyalkyl; n = 0-1; X = alkenyl, (un)substituted alkyl, alkyl-O, or alkyl-S (alkyl attached to spiro ring N
atom); A = Ph or 5- or 6-membered heteroaryl nucleus; p = 0-1; R4 = aryl, cycloalkyl, partially unsatd. carbocyclyl, heteroaryl, heterocycloalkyl; q
= 0-3; R5 = halo, alkyl, haloalkyl, alkoxy, NO2, (di)(alkyl)amino, alkylsulfonyl, (di)(alkyl)amido, sulfonyl, (di)(alkyl)aminosulfonyl; m = 0-1; Y = alkyl, alkenyl, O, S, NH, N-(alkyl), alkyl-O, alkyl-S, O-alkyl, S-alkyl-S; R6 = (un)substituted aryl, partially unsatd. carbocyclyl, cycloalkyl, heteroaryl, or heterocycloalkyl, or benzoyloxyphenyl; with provisos; including pharmaceutically acceptable salts]. More particularly, the compds. of the invention are useful in the treatment of disorders and conditions such as anxiety, depression, substance abuse, neuropathic pain, acute pain, migraine, asthma, and cough, and also for improving cognition. Over 130 examples were individually prepared and tested. For instance, 1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one was N-alkylated by 2-bromobenzyl bromide, and the resultant aryl bromide was coupled with 3-(trifluoromethyl)phenylboronic acid under Pd(PPh3)4 catalysis, to give title compound II. In a test for inhibition of binding
of 125I-Tyr14-nociceptin to human nociceptin receptors (ORL-1) expressed on HEK293 cell membranes, I had IC50 values from 0.0010 μM to >10 μM.

AN 2002:814132 CAPLUS
DN 137:325418
TI 1,3,8-Triazaspiro[4.5]decan-4-one derivatives useful for the treatment of

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ORL-1 receptor-mediated disorders
IN Jordan, Alfonzo; Pan, Kevin; Reitz, Allen B.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
PAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002083673	A1	20021024	WO 2002-US10736	20020405

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

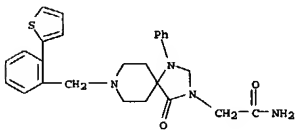
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003109539	A1	20030612	US 2002-117674	20020405
EP 1392687	A1	20040303	EP 2002-721678	20020405

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 2001-282722P	P	20010410	
WO 2002-US10736	W	20020405	

OS MARPAT 137:325418
IT **473528-08-4P**, 4-Oxo-1-phenyl-8-[[2-(2-thienyl)phenyl]methyl]-1,3,8-triazaspiro[4.5]decane-3-acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of triazaspirodecanone derivs. for treatment of
ORL-1 receptor-mediated disorders)
RN 473528-08-4 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 4-oxo-1-phenyl-8-[[2-(2-thienyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

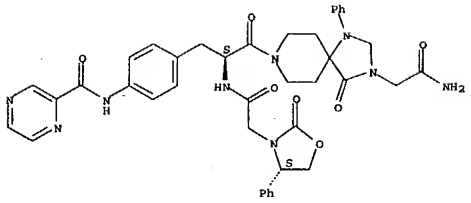


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
AB The "one-bead one-compound" (OBOC) combinatorial library method is highly efficient, especially when used with well-established on-bead binding or functional assays. Literally, millions of compds. can be screened concurrently within 1 to 2 days. However, structure determination of peptidomimetic and small mol. compds. on one single bead is not trivial. A novel, highly efficient, and robust peptide-based encoding system has been developed for OBOC peptidomimetic and small mol. combinatorial libraries. In this system, topol. segregated bifunctional beads, which are made by a simple biphasic solvent strategy, are employed for the preparation and screening of an OBOC combinatorial peptidomimetic and small
mol. libraries. Testing mols. are on the outer layer, and the coding tags
in the interior of the bead do not interfere with screening. The coding tag is a peptide containing a large number of unnatural α-amino acids derived from different building blocks used for generating the peptidomimetic or small mol. By coupling common building blocks simultaneously to the scaffold of the testing compound and to the side chains of the α-amino acids on the coding peptide, extra synthetic steps are eliminated and the amount of undesirable side products is minimized. Pos. bead decoding is easy and straightforward as there is no need for cleavage and retrieval of the coding tag, and pos. beads can be sequenced directly with Edman degradation The authors demonstrate the efficiency and simplicity of their peptidyl encoding system by generating an encoded 158 400-member model peptidomimetic library and screening it for ligands that bind to streptavidin. Potent and novel ligands with clear motifs have been identified.
AN 2002:424638 CAPLUS
DN 137:140770
TI A Novel Peptide-Based Encoding System for "One-Bead One-Compound" Peptidomimetic and Small Molecule Combinatorial Libraries
AU Liu, Ruiwu; Marik, Jan; Lam, Kit S.
CS Division of Hematology & Oncology Department of Internal Medicine, UC Davis Cancer Center University of California Davis, Sacramento, CA, 95817,
USA
SO Journal of the American Chemical Society (2002), 124(26), 7678-7680
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
IT **444794-81-4P**
RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation);
BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(solid-phase preparation of a library of biol. active peptides using the
"one-bead one-compound" combinatorial method, a novel peptide-based encoding system and a streptavidin-binding assay)
RN 444794-81-4 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 4-oxo-8-[(2S)-1-oxo-2-[[[(4S)-2-oxo-4-phenyl-3-oxazolidinyl]acetyl]amino]-3-[4-[(pyrazinylcarbonyl)amino]phenyl]propyl]-1-phenyl- (9CI) (CA INDEX NAME)

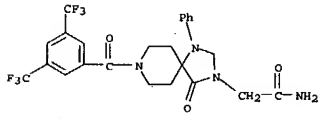
Absolute stereochemistry.

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
OS MARPAT 136:37519
IT **380198-55-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis and use of triazaspirodecanone derivs. as neurokinin receptor antagonists)
RN 380198-55-0 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-[3,5-bis(trifluoromethyl)benzoyl]-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

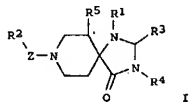
L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkyl, alkenyl, Ph, (CH2)m-non aromatic heterocyclyl, (CH2)m-heteroaryl, (CH2)m-carboxamide, (CH2)m-C(O)alkyl, etc.; R2 = H, alkyl, halo, alkoxy; R3 = alkyl, alkoxy, halo, CF3; X = N-, C:, CH; X1/X2 = H, OH, alkoxy or may be together an oxo group; Y1/Y2 = H, alkyl, (CH2)m-Ph or may be together an oxo group; Z = bond, CH2, C(O); m = 0 - 4; n = 2 - 3; p = 0 - 2] were prepared Over 160 synthetic examples were disclosed. For example, 8-(3,5-bistrifluoromethylbenzoyl)-1-phenyl-1,3,8-triazaspiro(4.5]decan-4-one was reacted with 2-chloro-4,6-dimethoxy-1,3,5-triazine (1,2-dimethoxyethane, NaH, 100°C, 1 h) to give II. II had pKi = 8.66 for the NK-1 receptor. I are useful in the treatment of diseases related to NK-1 receptor antagonists.
AN 2001:904170 CAPLUS
DN 136:37519
TI Synthesis and use of triazaspirodecanone derivatives as neurokinin receptor antagonists
IN Galley, Guido; Godel, Thierry; Goergler, Annick; Hoffmann, Torsten; Kolczewski, Sabine; Roever, Stephan
PA F. Hoffmann-La Roche AG, Switz.
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001094346	A1	20011213	WO 2001-EP6305	20010601
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002006932	A1	20020117	US 2001-861795	20010521
	US 6482829	B2	20021119		
	EP 1292596	A1	20030319	EP 2001-945242	20010601
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011538	A	20030701	BR 2001-11538	20010601
	JP 2003535863	T2	20031202	JP 2002-501895	20010601
PRAI	EP 2000-112285	A	20000608		
	WO 2001-EP6305	W	20010601		

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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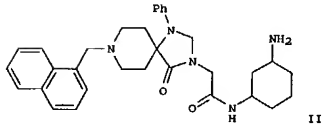
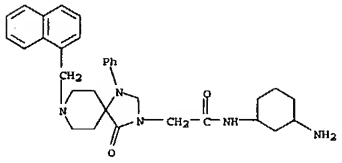


II

AB The title compds. [I; R1 = (un)substituted Ph, aralkyl, thienyl, etc.; R2 = aminophenyl, cyanophenyl, alkylphenyl, etc.; R3 = H, alkyl, Ph, etc.; R4 = (CH2)mANR9(CH2)nR8 (m = 1-8; n = 0-8; A = CH2, CO; R8 = NR11R12, etc.; R9 = H, alkyl, etc.; R11, R12 = H, aminoalkyl); R5 = H, alkyl; Z = CHR10 (R10 = H, alkyl, etc.), alkylene, alkenylene, etc.] and their pharmaceutically acceptable salts which have high affinity for nociceptin receptors, and are useful for the treatment of migraine, non insulin dependent diabetes mellitus (type II diabetes), sepsis, inflammation, incontinence and/or vasomotor disturbances, in particular the peripheral vasomotor effects known as hot flushes or hot flashes, were prepared and formulated. E.g., a solid phase synthesis of cis/trans-II.2F3CCO2H was given. The compds. I are effective at 10-100 mg/day/patient.
AN 2001:380584 CAPLUS
DN 135:5615
TI Preparation of novel triazaspirodecanones with high affinity for opioid receptor subtypes
IN Hohlweg, Rolf; Watson, Brett; Pettersson, Ingrid
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

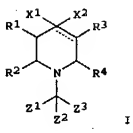
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001036418	A1	20010525	WO 2000-DK641	20001117
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI DK 1999-1653 A 19991117
US 1999-167819P P 19991129
OS MARPAT 135:5615
IT **340804-62-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel triazaspirodecanones with high affinity for opioid receptor subtypes)
RN 340804-62-8 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(3-aminocyclohexyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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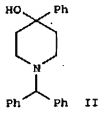


II

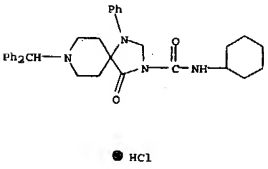
AB The title compds. [I; X1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; X2 = CHO, CN, (un)substituted NH2, etc.; or X1 = (un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1-R4 = H, alkyl; or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge; Z1 = (un)substituted alkyl, aryl, heteroaryl, etc.; Z2 = H, Z1; Z3 = H, alkyl; or Z1-Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] and their pharmaceutically acceptable salts, useful as ORL-1 receptor agonists for the treatment of cough, alone or in combination with one or more agents for the treatment of cough, allergy or asthma symptoms, were prepared and formulated. Thus, reacting 4-hydroxy-4-phenylpiperidine with α-bromodiphenylmethane in the presence of K2CO3 in CH3CN afforded 90% II which showed Ki of 13 nM against ORL-1 receptor binding.
AN 2001:78241 CAPLUS
DN 134:131434
TI Preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough
IN Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; Mcleod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.
PA Schering Corporation, USA
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001007050	A1	20010201	WO 2000-US1853	20000126
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6262066	B1	20010717	US 1999-359771	19990726
EP 1200087	A1	20020502	EP 2000-904560	20000126
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000012801	A	20020507	BR 2000-12801	20000126
JP 2003505420	T2	20030212	JP 2001-511934	20000126
US 2001011092	A1	20010802	US 2001-769824	20010125
US 6455527	B2	20020924		
ZA 2002000275	A	20030411	ZA 2002-275	20020111
NO 2002000392	A	20020325	NO 2002-392	20020125
US 2003073690	A1	20030417	US 2002-155277	20020523
US 6716846	B2	20040406		
US 2004067950	A1	20040408	US 2003-464580	20030617

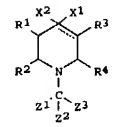
PRAI US 1999-359771 A 19990726
US 1998-94240P P 19980727
US 2000-491780 A1 20000126
WO 2000-US1853 W 20000126
US 2001-769824 A3 20010125
OS MARPAT 134:131434
IT **256940-47-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)
RN 256940-47-3 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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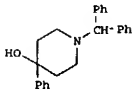


II

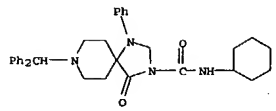
AB Compds. of formula I [wherein: the dotted line represents an optional double bond; X1 = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl or heterocycloalkyl; X2 = CHO, CN, optionally substituted amino, alkyl, or aryl; or X1 =(un)substituted benzofused heterocyclyl and X2 = H; or X1 and X2 together form an optionally benzofused spiro heterocyclyl group; R1, R2, R3 and R4 = independently H and alkyl, or (R1 and R4) or (R2 and R3) or (R1 and R3) or (R2 and R4) together can form an alkylene bridge of 1 to 3 carbon atoms; Z1 = (un)substituted alkyl, aryl, heteroaryl, cycloalkyl or heterocycloalkyl, or CO2(alkyl or substituted amino) or CN; Z2 = H or Z1; Z3 = H or alkyl; or Z1, Z2 and Z3, together with the carbon to which they are attached, form bicyclic saturated or unsatd. rings] or pharmaceutically acceptable salt or solvate thereof useful as nociceptin receptor inhibitors for the treatment of pain, anxiety, cough, asthma, depression, and alc. abuse are disclosed. Compound II showed the Ki value of 13 nM in an in vitro test for ORL-1 receptor binding assay. Formulations are given.
AN 2000:98519 CAPLUS
DN 132:137290
TI Preparation of piperidine derivatives as high affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen; Ho, Ginny D.; Silverman, Lisa S.; Matasi, Julius J.; McLeod, Robbie L.; Hey, John A.; Chapman, Richard W.; Bercovici, Ana; Cuss, Francis M.
PA Schering Corporation, USA
SO PCT Int. Appl., 88 pp.

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000006545	A1	20000210	WO 1999-US14165	19990726
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2338206	AA	20000210	CA 1999-2338206	19990726
	AU 9952056	A1	20000221	AU 1999-52056	19990726
	AU 768607	B2	20031218		
	BR 9912495	A	20010502	BR 1999-12495	19990726
	EP 1100781	A1	20010523	EP 1999-937174	19990726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
	TR 200100241	T2	20010621	TR 2001-200100241	19990726
	JP 2002521472	T2	20020716	JP 2000-562351	19990726
	TW 502021	B	20020911	TW 1999-88112624	19990726
	EP 1258244	A1	20021120	EP 2002-18161	19990726
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
	NZ 509033	A	20031128	NZ 1999-509033	19990726
	ZA 2001000150	A	20020107	ZA 2001-150	20010105
	NO 2001000467	A	20010326	NO 2001-467	20010126
PRAI	US 1998-122878	A	19980727		
	EP 1999-937174	A3	19990726		
	WO 1999-US14165	W	19990726		

OS MARPAT 132:137290
IT **256940-47-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as high affinity ligands for nociceptin receptor ORL-1)
RN 256940-47-3 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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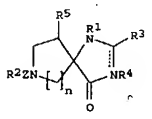
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

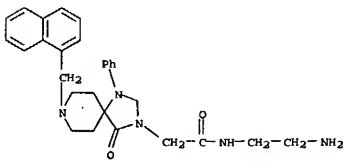
AB The title triazaspiro compds. I [R1 = Ph, arylalkyl or thienyl; R2 = aminophenyl, C1-6-monoalkylaminophenyl, C1-6-dialkylaminophenyl, cyanophenyl, C2-6-alkylphenyl, naphthyl, tetrahydronaphthyl, furanyl, indanyl, benzothienyl, benzofuranyl; R3 = H, C1-6-alkyl, Ph, benzyl, acetyl; R4 = nul, H, (CH2)m(CHR9)(CH2)p-AR11; R5 = H, C1-4-alkyl; Z = CHR10 wherein R10 = H, C1-6-alkyl, Ph, arylalkyl or Z = C2-8-alkylene, C2-8-alkenylene, C2-8-alkynylene; n = 1, 2], small organic compds. acting as opioid receptor ligands for the treatment of vasomotor disturbances (no data), were prepared E.g., (4-oxo-8-phenethyl-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl)acetic acid Me ester was prepared
AN 1999:753237 CAPLUS
DN 132:3310
TI Preparation of novel 1,3,8-triazaspiro[4.5]decanones with high affinity for opioid receptor subtypes
IN Watson, Brett; Hohlweg, Rolf; Thomsen, Christian
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9959997	A1	19991125	WO 1999-DK266	19990514
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6277991	B1	20010821	US 1999-311469	19990513
	AU 9938099	A1	19991206	AU 1999-38099	19990514
	EP 1080091	A1	20010307	EP 1999-920561	19990514
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2002515503	T2	20020528	JP 2000-549615	19990514
PRAI	DK 1998-681	A	19980518		
	DK 1998-711	A	19980520		
	DK 1998-729	A	19980526		

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

	DK 1998-927	A	19980710
	DK 1999-111	A	19990129
	US 1998-91012P	P	19980626
	US 1998-93519P	P	19980721
	US 1999-120295P	P	19990216
	WO 1999-DK266	W	19990514

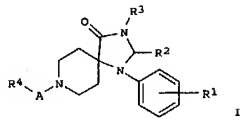
OS MARPAT 132:3310
IT **250686-42-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1,3,8-triazaspiro[4.5]decanones and their affinity for opioid receptor subtypes)
RN 250686-42-1 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(2-aminoethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



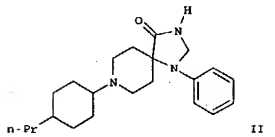
●2 HCl

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I



II

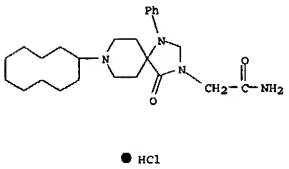
AB The invention relates to compds. I [wherein R1 = H, alkyl, halo, alkoxy, CF3, phenylalkyl, or C5-7 cycloalkyl; R2 = H, alkyl, Ph, or phenylalkyl; R3 = H, alkyl, PhCH2, phenylalkyl, diphenylalkyl, triazinyl, cyanomethyl, piperidinylalkyl, naphthylalkyl, C5-7 cycloalkyl, C5-7 cycloalkylalkyl, pyridinylalkyl, morpholinylalkyl, dioxolanylalkyl, oxazolylalkyl, or 2-oxo-oxazolidinylalkyl [wherein ring systems may be substituted], or (CH2)nCO2-lower alkyl, (CH2)nCONH2, (CH2)nCON(lower alkyl)2, (CH2)nOH, or (CH2)nCONHCH2C6H5; R4 = H, alkyl, or nitrilo; A = various ring systems including (un)substituted cycloalkane, decalin, hex- or octa hydroindene, bicyclo[3.1.0]hexane, dodecahydroacenaphthylen-1-yl, bicyclo[6.2.0]dec-9-yl, and bicyclononan-9-yl] and their pharmaceutically acceptable acid addition salts. The compds. are agonists and/or antagonists of the Orphanin FQ (OFQ) receptor. Consequently, they will be useful in the treatment of memory and attention deficits, psychiatric, neurol. and physiol. disorders, especially, but not limited to, amelioration of symptoms of anxiety and stress disorders, depression, trauma, memory loss due to Alzheimer's disease or other dementias, epilepsy and convulsions, acute and/or chronic pain conditions, symptoms of addictive drug withdrawal, control of water balance, Na+ excretion, arterial blood pressure disorders and metabolic disorders such as obesity. Over 100 examples, mostly as HCl salts, were prepared For instance, condensation of 1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one with 4-propylcyclohexanone in refluxing PhMe, followed by reduction with NaBH3CN in THF/EtOH mixt., workup, chromatog., and crystn., gave title compd. II-HCl as a cis/trans mixt. The affinity of II-HCl for OFQ receptors (receptors expressed in transfected HEK-293 cells), given as the pKi, was 8.4.

AN 1999:375286 CAPLUS
DN 131:44818
TI 1,3,8-Triazaspiro[4.5]decan-4-one derivatives useful as OFQ receptor agonists and antagonists
IN Adam, Geo; Cesura, Andrea; Galley, Guido; Jenck, Francois; Rover, Stephan; Wichmann, Jurgen
PA F. Hoffmann-La Roche A.-G., Switz.
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 921125	A1	19990609	EP 1998-122511	19981127
	EP 921125	B1	20020130		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 212635	E	20020215	AT 1998-122511	19981127
	PT 921125	T	20020628	PT 1998-122511	19981127
	ES 2170446	T3	20020801	ES 1998-122511	19981127
	SG 71173	A1	20000321	SG 1998-5141	19981203
	US 6043366	A	20000328	US 1998-204184	19981203
	NZ 333159	A	20000623	NZ 1998-333159	19981203
	NO 9805684	A	19990607	NO 1998-5684	19981204
	ZA 9811128	A	19990607	ZA 1998-11128	19981204
	AU 9896087	A1	19990624	AU 1998-96087	19981204
	AU 744338	B2	20020221		
	CN 1222521	A	19990714	CN 1998-122759	19981204
	CN 1118467	B	20030820		
	JP 11228575	A2	19990824	JP 1998-345278	19981204
	JP 3366868	B2	20030114		
	BR 9805297	A	20000201	BR 1998-5297	19981204
	TW 408123	B	20001011	TW 1998-87120132	19981204
PRAI	EP 1997-121427	A	19971205		

OS MARPAT 131:44818
IT 227028-91-3P, 2-(8-Cyclodecyl-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl)acetamide hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of triazaspirodecanone derivs. as OFQ receptor agonists and antagonists)
RN 227028-91-3 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-cyclodecyl-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

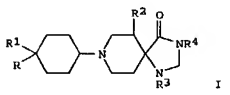
L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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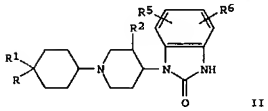


II

AB Arylcyclohexylpiperidines I and II [R = aryl, 1,3-benzodioxolyl; R1 = H, cyano, CO2H, esterified or amidated CO2H, OH, acycloxy, alkoxy, acyl, alkyl, cyclohexyl; R2 = H, alkyl; R3 = aryl; R4 = H, (un)substituted alkyl; R5,R6 = H, halogen, CF3, alkyl, alkoxyl were prepared Thus II (R =4-FC6H4, R1 = OH, R2 = R5 = R6 = H) was obtained by reductive amination of the cyclohexanone by the piperidinylbenzimidazolone. I and II have psychotropic and antiemetic activity.

AN 1982:492279 CAPLUS
DN 97:92279
TI 1-(4-Arylcyclohexyl)piperidine derivatives, their use and their pharmaceutical compositions
IN Stokbroekx, Raymond A.; Willems, Joannes J. M.; Luyckx, Marcel G. M.
PA Janssen Pharmaceutica N. V., Belg.
SO U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 199,142, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4329353	A	19820511	US 1981-222091	19810109
	CA 1161438	A1	19840131	CA 1981-372317	19810304
	AU 8168092	A1	19810917	AU 1981-68092	19810305
	AU 538147	B2	19840802		
	JP 56150086	A2	19811120	JP 1981-31393	19810306
	JP 02001834	B4	19900112		
	FI 8100727	A	19810911	FI 1981-727	19810309
	FI 73428	B	19870630		
	FI 73428	C	19871009		
	DK 8101071	A	19810911	DK 1981-1071	19810309
	NO 8100793	A	19810911	NO 1981-793	19810309
	NO 159793	B	19881031		
	NO 159793	C	19890208		
	EP 35902	A1	19810916	EP 1981-300973	19810309
	EP 35902	B1	19841031		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

	ZA 8101558	A	19821027	ZA 1981-1558	19810309
	RO 81938	P	19830601	RO 1981-103622	19810309
	HU 27689	O	19831028	HU 1981-581	19810309
	HU 187362	B	19851228		
	SU 1095878	A3	19840530	SU 1981-3254454	19810309
	IL 62320	A1	19840629	IL 1981-62320	19810309
	AT 10096	E	19841115	AT 1981-300973	19810309
	RO 85814	P	19841125	RO 1981-110666	19810309
	ES 500251	A1	19821101	ES 1981-500251	19810310
	PL 129642	B1	19840531	PL 1981-236046	19810310
	PL 130480	B1	19840831	PL 1981-230073	19810310
	CS 234044	B2	19850314	CS 1981-1743	19810310
	SU 1099845	A3	19840623	SU 1982-3409919	19820329
PRAI	US 1980-128705		19800310		
	US 1980-199142		19801022		
	US 1981-222091		19810109		
	EP 1981-300973		19810309		

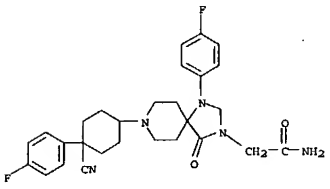
OS CASREACT 97:92279

IT **80913-19-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

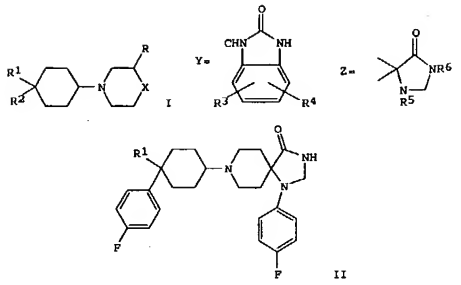
RN 80913-19-5 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-[4-cyano-4-(4-fluorophenyl)cyclohexyl]-1-(4-fluorophenyl)-4-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

GI



AB The antiemetic and psychotropic cyclohexylpiperidinylbenzimidazolones and cyclohexyltriazaspirodecanones I [R = H, alkyl; R1 = H, cyano, CO2H, carboxylic acid esters, (un)substituted aminocarbonyl, HO, alkoxy, alkylcarbonyloxy, HCO, acyl, arylcarbonyl, alkyl, alkenyl, alkynyl, cyclohexyl; R2 = aryl, 1,3-benzodioxolyl; X = Y (R3, R4 = H, halo, F3C, alkyl, alkoxy), Z (R5 = aryl, R6 = H, substituted alkyl] were prepared Thus, Et 4-oxo-1-piperidinecarboxylate was treated with p-FC6H4NH2 and NaCN followed by hydrolysis and the resulting 4-carbamoyl-4-(4-fluorophenylamino)-1-piperidinecarboxylate was cyclized with paraformaldehyde to give Et 1-(4-fluorophenyl)-4-oxo-1,3,8-triazaspiro[4.5]decane-8-carboxylate, which underwent decarboethoxylation followed by treatment with 1-(4-fluorophenyl)-4-oxocyclohexanecarbonitrile to give the triazaspirodecane II (R1 = CN). The ED50 of II (R1 = CO2Et) in the apomorphine test in dogs was 2.5 mg/kg.

AN 1982:104237 CAPLUS

DN 96:104237

TI 1-(4-Aryl-cyclohexyl)piperidine derivatives

IN Stokbroekx, Raymond Antoine; Willems, Joannes Josephus Maria; Luyckx, Marcel Gerebernus Maria

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

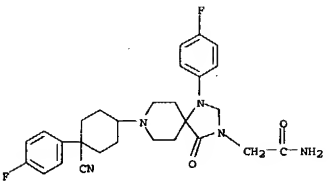
PI	EP 35902	A1	19810916	EP 1981-300973	19810309
	EP 35902	B1	19841031		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	US 4329353	A	19820511	US 1981-222091	19810109
	AU 8168092	A1	19810917	AU 1981-68092	19810305
	AU 538147	B2	19840802		
	ZA 8101558	A	19821027	ZA 1981-1558	19810309
	SU 1095878	A3	19840530	SU 1981-3254454	19810309
	AT 10096	E	19841115	AT 1981-300973	19810309
	SU 1099845	A3	19840623	SU 1982-3409919	19820329
PRAI	US 1980-128705		19800310		
	US 1980-199142		19801022		
	US 1981-222091		19810109		
	EP 1981-300973		19810309		

IT **80913-19-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and psychotropic and antiemetic activity of)

RN 80913-19-5 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-[4-cyano-4-(4-fluorophenyl)cyclohexyl]-1-(4-fluorophenyl)-4-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

GI For diagram(s), see printed CA Issue.

AB Neuroleptic (no data) triazaspirodecanes I (R = p-FC6H4, 2-thienyl; X = O, OCH2CH2O) were prepared by alkylating the triazaspirodecane with RCX(CH2)3Cl.

AN 1975:43484 CAPLUS

DN 82:43484

TI Substituted 1,3,8-triazaspiro[4.5]decanes

IN Scharpf, William G.

PA FMC Corp.

SO U.S., 5 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

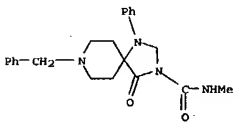
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3839340	A	19741001	US 1968-763417	19680927
PRAI US 1968-763417		19680927		

IT **54286-34-9**

RL: RCT (Reactant); RACT (Reactant or reagent)
(debenzylation of)

RN 54286-34-9 CAPLUS

CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-methyl-4-oxo-1-phenyl-8-(phenylmethyl)- (9CI) (CA INDEX NAME)



7/15/04

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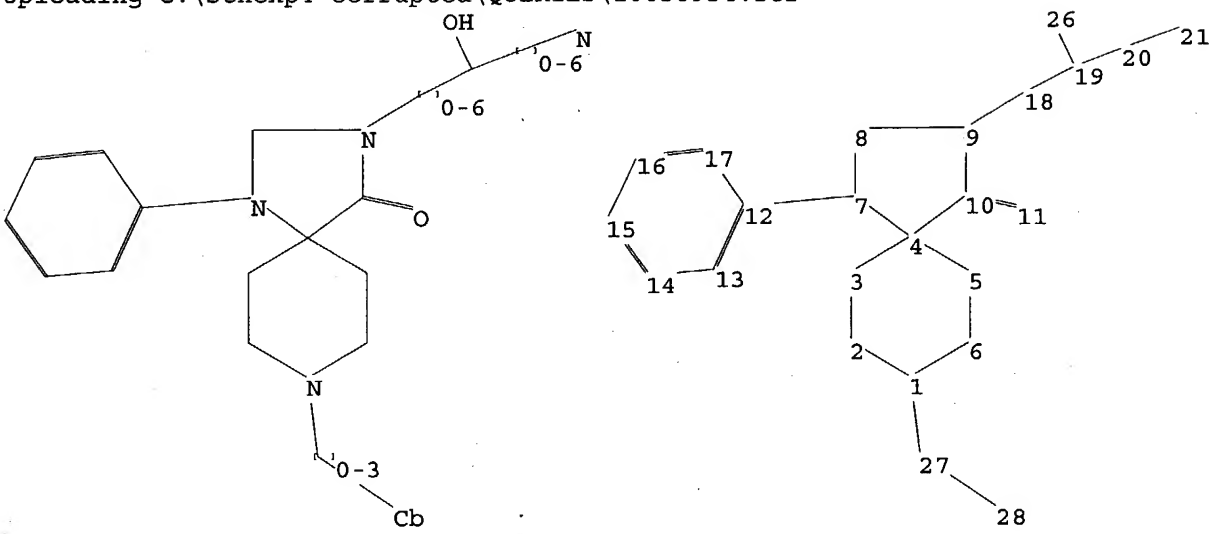

chain nodes :
11 18 19 20 21 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17
chain bonds :
1-27 7-12 9-18 10-11 18-19 19-20 19-26 20-21 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 4-10 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
exact/norm bonds :
1-2 1-6 1-27 2-3 3-4 4-5 4-7 4-10 5-6 7-8 7-12 8-9 9-10 9-18 10-11
19-26 20-21
exact bonds :
18-19 19-20 27-28
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 26:CLASS 27:CLASS 28:Atom

L5 STRUCTURE UPLOADED

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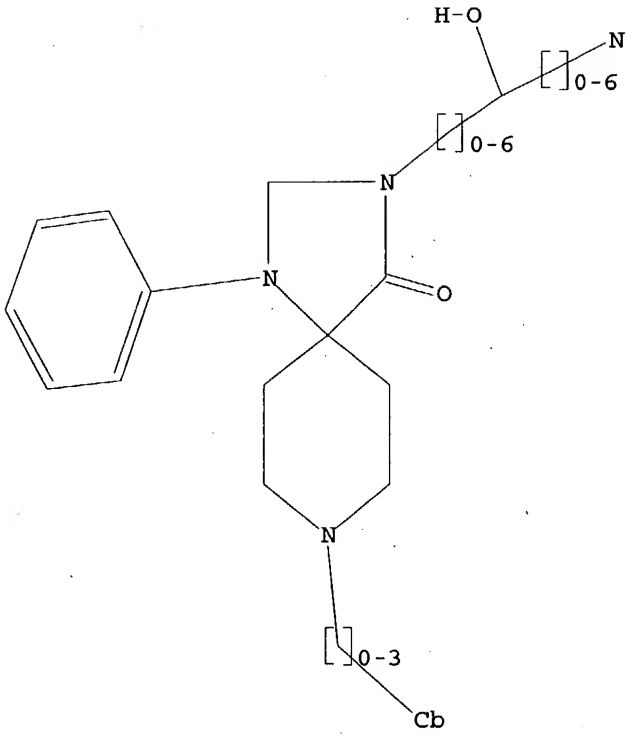
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 15:05:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 316 TO 1004
PROJECTED ANSWERS: 132 TO 668

L6 20 SEA SSS SAM L1

7/15/04

L7 5 L6

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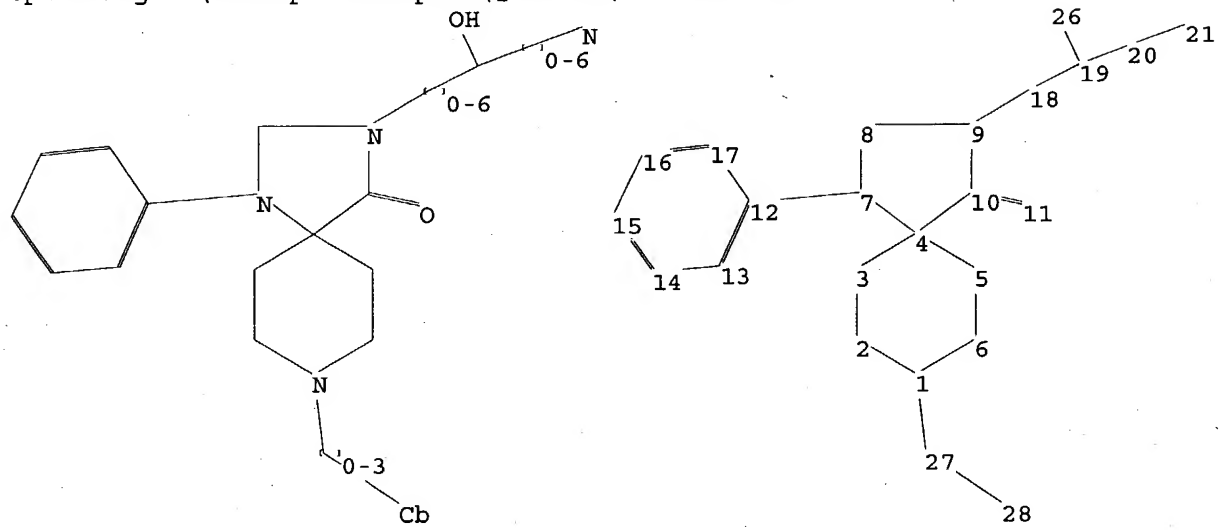

chain nodes :
11 18 19 20 21 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17
chain bonds :
1-27 7-12 9-18 10-11 18-19 19-20 19-26 20-21 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 4-10 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
exact/norm bonds :
1-2 1-6 1-27 2-3 3-4 4-5 4-7 4-10 5-6 7-8 7-12 8-9 9-10 9-18 10-11
19-26 20-21
exact bonds :
18-19 19-20 27-28
normalized bonds :
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
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L8 STRUCTURE UPLOADED

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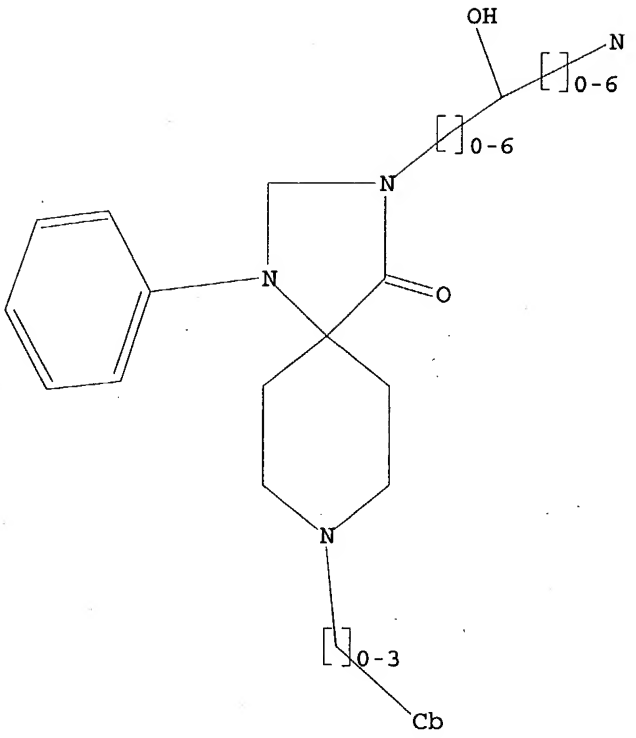
L8 HAS NO ANSWERS
L8 STR



Structure attributes must be viewed using STN Express query preparation.

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Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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100.0% PROCESSED 875 ITERATIONS 459 ANSWERS
SEARCH TIME: 00.00.01

L9 459 SEA SSS FUL L8

L10 13 L9

=> file uspatall
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION

7/15/04

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FULL ESTIMATED COST                                    0.46      382.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE       TOTAL
                                                       ENTRY     SESSION
CA SUBSCRIBER PRICE                                    0.00       -9.56

FILE 'USPATFULL' ENTERED AT 15:06:39 ON 15 JUL 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:06:39 ON 15 JUL 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l9
L11         13 L9

=> d abs bib hitstr < 09/09/2002
'09' MUST END IN '/Q', '/A', '/L', '/S' OR '/B'
The saved name for a query (or structure or screen set) must end with
'/Q'.  The saved name for an answer set must end with '/A'.  The saved
name for an L# list must end with '/L'.  SDI request names must end
with '/S'.  To see a list of all saved query, answer set,, and L# list
names for this loginid, enter "DISPLAY SAVED" at an arrow
prompt (=>).  Enter "DISPLAY SAVED/S" to see a list of SDI request
names.  Enter "DISPLAY SAVED/B" to see a list of BATCH search
requests.

=> s l9 <09/09/2002
NUMERIC EXPRESSION NOT VALID 'L9 <09/09/2002'
Numeric search expressions contain an operator (=,>,<,=<,=>), a field
qualifier, and the number or a range to be searched.  Examples of
valid expressions are 'LD>6', '260-280/MW', and '10 < LD < 30'.  For a
list of field codes in the current file, enter "HELP SFIELDS" at an
arrow prompt (=>).  For more information on searching in numeric
fields, enter "HELP NUMERIC".

=> s l9 and <09/09/2002
MISSING TERM 'AND <09/09/2002'
The search profile that was entered contains a logical
operator followed immediately by another operator.

=> s l9 and 20020909/ed
L12          0 L9 AND 20020909/ED

=> s l9 and prd < 20020909
L13         11 L9 AND PRD < 20020909

=> d abs bib hitstr 1-11
```

L13 ANSWER 1 OF 11 USPATFULL on STN
AB The present invention relates to the method of treating cough with ORL-1
agonists, alone or in combination with additional agents for treating symptoms of cough, allergy or asthma, pharmaceutical compositions comprising the combinations, and to compounds of the formula ##STR1##

or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dotted line represents an optional double bond;

X.sup.1 is optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or
heterocycloalkyl;

X.sup.2 is --CHO, --CN, optionally substituted amino, alkyl, or aryl;

or X.sup.1 is optionally substituted benzofused heterocyclyl and X.sup.2
is hydrogen;

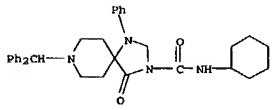
or X.sup.1 and X.sup.2 together form an optionally benzofused spiro heterocyclyl group R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are independently H and alkyl, or (R.sup.1 and R.sup.4) or (R.sup.2 and R.sup.3) or (R.sup.1 and R.sup.3) or (R.sup.2 and R.sup.4) together can form an alkylene bridge of 1 to 3 carbon atoms;

Z.sup.1 is optionally substituted alkyl, aryl, heteroaryl, cycloalkyl or
heterocycloalkyl, or --CO.sub.2(alkyl or substituted amino) or CN ; Z.sup.2 is H or Z.sup.1; Z.sup.3 is H or alkyl; or Z.sup.1, Z.sup.2 and Z.sup.3, together with the carbon to which they are attached, form bicyclic saturated or unsaturated rings;

pharmaceutical compositions therefore, and the use of said compounds as nociceptin receptor inhibitors useful in the treatment of pain, anxiety,
cough, asthma, depression and alcohol abuse.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2004:88973 USPATFULL
TI High affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen, Lebanon, NJ, UNITED STATES
Ho, Ginny D., Murray Hill, NJ, UNITED STATES
Silverman, Lisa S., Edison, NJ, UNITED STATES
Matasi, Julius J., Scotch Plains, NJ, UNITED STATES
McLeod, Robbie L., Branchburg, NJ, UNITED STATES
Hey, John A., Bloomfield, NJ, UNITED STATES
Chapman, Richard W., Somerville, NJ, UNITED STATES
Bercovici, Ana, West Orange, NJ, UNITED STATES
Cuss, Francis M., Basking Ridge, NJ, UNITED STATES
PA Schering-Plough Corporation (U.S. corporation)
PI US 2004067950 A1 20040408
AI US 2003-464580 A1 20030617 (10)
RLI Continuation of Ser. No. US 2000-491780, filed on 26 Jan 2000, PENDING
Continuation-in-part of Ser. No. US 1999-359771, filed on 26 Jul 1999,

L13 ANSWER 1 OF 11 USPATFULL on STN (Continued)
GRANTED, Pat. No. US 6262066
PRAI US 1998-94240P 19980727 (60) <--
DT Utility
FS APPLICATION
LREP LERNER, DAVID, LITTENBERG,, KRUMHOLZ & MENTLIK, 600 SOUTH AVENUE WEST, WESTFIELD, NJ, 07090
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN 4 Drawing Page(s)
LN.CNT 3099
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **256940-47-3P**
(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)
RN 256940-47-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

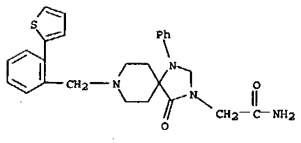


● HCl

L13 ANSWER 2 OF 11 USPATFULL on STN
AB The present invention is directed to novel 1,3,8-triazaspiro[4.5]decan-4-
one derivatives of the general formula ##STR1##

wherein all variables are as defined herein, useful in the treatment of disorders and conditions mediated by the ORL-1 G-protein coupled receptor. More particularly, the compounds of the present invention are useful in the treatment of disorders and conditions such as anxiety, depression, substance abuse, neuropathic pain, acute pain, migraine, asthma, cough and for improved cognition.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:159924 USPATFULL
TI 1,3,8-Triazaspiro[4.5]decan-4-one derivatives useful for the treatment of ORL-1 receptor mediated disorders
IN Jordan, Alfonzo, North Wales, PA, UNITED STATES
Reitz, Allen B., Lansdale, PA, UNITED STATES
Pan, Kevin, Shanghai, CHINA
PI US 2003109539 A1 20030612
AI US 2002-117674 A1 20020405 (10)
PRAI US 2001-282722P 20010410 (60) <--
DT Utility
FS APPLICATION
LREP Philip S. Johnson, Esq., Johnson & Johnson, One Johnson & Johnson Plaza,
New Brunswick, NJ, 08933-7003
CLMN Number of Claims: 17
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2725
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **473528-08-4P**, 4-Oxo-1-phenyl-8-[[2-(2-thienyl)phenyl]methyl]-1,3,8-triazaspiro[4.5]decane-3-acetamide
(drug candidate; preparation of triazaspirodecanone derivs. for treatment of
ORL-1 receptor-mediated disorders)
RN 473528-08-4 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 4-oxo-1-phenyl-8-[[2-(2-thienyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



L13 ANSWER 3 OF 11 USPATFULL on STN
AB Novel compounds of the formula ##STR1##

or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dotted line represents an optional double bond;

X.sup.1 is optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or
heterocycloalkyl;

X.sup.2 is --CHO, --CN, optionally substituted amino, alkyl, or aryl;

or X.sup.1 is optionally substituted benzofused heterocyclyl and X.sup.2
is hydrogen;

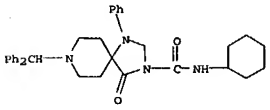
or X.sup.1 and X.sup.2 together form an optionally benzofused spiro heterocyclyl group

R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are independently H and alkyl, or (R.sup.1 and R.sup.4) or (R.sup.2 and R.sup.3) or (R.sup.1 and R.sup.3) or (R.sup.2 and R.sup.4) together can form an alkylene bridge of 1 to 3 carbon atoms;

Z.sup.1 is optionally substituted alkyl, aryl, heteroaryl, cycloalkyl or
heterocycloalkyl, or --CO.sub.2(alkyl or substituted amino) or CN; Z.sup.2 is H or Z.sup.1; Z.sup.3 is H oralkyl; or Z.sup.1, Z.sup.2 and Z.sup.3, together with the carbon to which they are attached, form bicyclic saturated or unsaturated rings; pharmaceutical compositions therefore, and the use of said compounds as nociceptin receptor inhibitors useful in the treatment of pain, anxiety, cough, asthma, depression and alcohol abuse are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:106778 USPATFULL
TI High affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen, Lebanon, NJ, UNITED STATES
Ho, Ginny D., Murray Hill, NJ, UNITED STATES
Silverman, Lisa S., Edison, NJ, UNITED STATES
Matasi, Julius J., Scotch Plains, NJ, UNITED STATES
McLeod, Robbie L., Branchburg, NJ, UNITED STATES
Hey, John A., Nutley, NJ, UNITED STATES
Chapman, Richard W., Somerville, NJ, UNITED STATES
Bercovici, Ana, West Orange, NJ, UNITED STATES
Cuss, Francis M., Basking Ridge, NJ, UNITED STATES
PI US 2003073690 A1 20030417
US 6716846 B2 20040406
AI US 2002-155277 A1 20020523 (10)
RLI Division of Ser. No. US 2001-769824, filed on 25 Jan 2001, PENDING
Division of Ser. No. US 1999-359771, filed on 26 Jul 1999, GRANTED, Pat.
No. US 6262066
PRAI US 1998-94240P 19980727 (60) <--
DT Utility
FS APPLICATION
LREP SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
CLMN Number of Claims: 18

L13 ANSWER 3 OF 11 USPATFULL on STN (Continued)
ECL Exemplary Claim: 1
DRWN 4 Drawing Page(s)
LN.CNT 2248
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **256940-47-3P**
(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)
RN 256940-47-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 4 OF 11 USPATFULL on STN
AB The invention relates to compounds of the formula ##STR1##

wherein

R.sup.1 is hydrogen, lower alkyl, lower alkenyl, phenyl or the following groups --(CH.sub.2).sub.m-non aromatic heterocyclyl, which is optionally substituted by lower alkyl, or is --(CH.sub.2).sub.m-heteroaryl, which is optionally substituted by one or two substituents selected from the group consisting of lower alkyl, lower alkoxy, halogen, CF.sub.3, benzyl or cyano, or is --(CH.sub.2).sub.m--C(O)--NRR', --(CH.sub.2).sub.m--C(O)-lower alkyl, --(CH.sub.2).sub.m--C(O)--O-lower alkyl, --(CH.sub.2).sub.m--O-lower alkyl, --(CH.sub.2).sub.m--CH[C(O)--O-lower alkyl].sub.2, --(CH.sub.2).sub.mCH(OH)--CH.sub.2--O-phenyl, --(CH.sub.2).sub.m--CH(CF.sub.3)OH, --(CH.sub.2).sub.m--OH, --(CH.sub.2).sub.m--CN, --(CH.sub.2).sub.m--NRR', --(CH.sub.2).sub.m-cycloalkyl or --(CH.sub.2).sub.m--CHF.sub.2;

R.sup.2 is hydrogen, lower alkyl, halogen or lower alkoxy;

R.sup.3 is lower alkyl, lower alkoxy, halogen or CF.sub.3;

R,R' are the same or different and are hydrogen or lower alkyl;

X is >N--, >C.dbd. or >CH--;

X.sup.1/X.sup.2 are independently from each other hydrogen, hydroxy or lower alkoxy or may be together an oxo group;

Y.sup.1/Y.sup.2 are independently from each other hydrogen, lower alkyl, --CH.sub.2).sub.m-phenyl or may be together an oxo group;

Z is a bond, --CH.sub.2-- or --C(O)--;

m is 0, 1,2, 3 or 4;

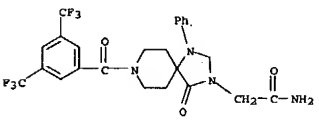
n is 2 or 3;

n' 0, 1 or 2;

and pharmaceutically acceptable acid addition salts thereof. The described compounds have a good affinity to the NK1 receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:12551 USPATFULL
TI Substituted heterocyclic siprodecane compound active as an antagonist of neurokinin 1 receptor
IN Galley, Guido, Rheinfelden, GERMANY, FEDERAL REPUBLIC OF
Godel, Thierry, Basle, SWITZERLAND
Goergler, Annick, Colmar, FRANCE

L13 ANSWER 4 OF 11 USPATFULL on STN (Continued)
Hoffmann, Torsten, Weil am Rhein, GERMANY, FEDERAL REPUBLIC OF
Kolczewski, Sabine, Loerrach, GERMANY, FEDERAL REPUBLIC OF
Roever, Stephan, Inzlingen, GERMANY, FEDERAL REPUBLIC OF
PI US 2002006932 A1 20020117
US 6482829 B2 20021119
AI US 2001-861795 A1 20010521 (9)
PRAI EP 2000-112285 20000608 <--
DT Utility
FS APPLICATION
LREP HOFFMANN-LA ROCHE INC., PATENT LAW DEPARTMENT, 340 KINGSLAND STREET, NUTLEY, NJ, 07110
CLMN Number of Claims: 212
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3197
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **380198-55-0P**
(drug; synthesis and use of triazaspirodecanone derivs. as neurokinin receptor antagonists)
RN 380198-55-0 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-[3,5-bis(trifluoromethyl)benzoyl]-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



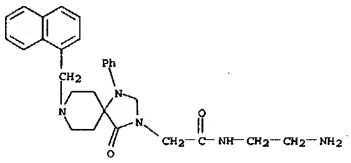
L13 ANSWER 5 OF 11 USPATFULL on STN
AB The present invention relates to the use of small organic compounds acting as opioid receptor ligands for the treatment of vasomotor disturbances. In particular the present invention relates to the use of triaza-spiro compounds of formula ##STR1##

wherein

R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, z and n are defined in the specification, for the treatment of migraine, non-insulin dependent diabetes mellitus (type II diabetes), sepsis, inflammation, incontinence and/or vasomotor disturbances, in particular the peripheral vasomotor effects known as hot flushes or hot flashes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2001:136792 USPATFULL
TI 1,3,8-triazaspiro[4.5]decanones with high affinity for opioid receptor subtypes
IN Hohlweg, Rolf, Kvistgaard, Denmark
Watson, Brett, Vaerlose, Denmark
Thomsen, Christain, Stroby, Denmark
PA Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)
PI US 6277991 B1 20010821
AI US 1999-311469 19990513 (9)
PRAI DK 1998-711 19980520 <--
DK 1998-729 19980526 <--
DK 1998-681 19980518 <--
DK 1998-927 19980710 <--
DK 1999-111 19990129 <--
US 1998-91012P 19980626 (60) <--
US 1998-93519P 19980721 (60) <--
US 1999-120295P 19990216 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Rotman, Alan L.; Assistant Examiner: Desai, Rita
LREP Green, Esq., Reza, Gregg, Esq., Valeta A.
CLMN Number of Claims: 38
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2005
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **250686-42-1P**
(preparation of 1,3,8-triazaspiro[4.5]decanones and their affinity for opioid receptor subtypes)
RN 250686-42-1 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(2-aminoethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

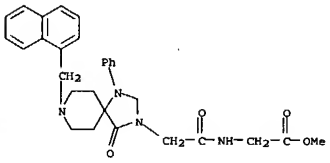
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



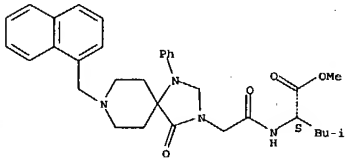
●2 HCl

IT 250685-86-0P 250685-87-1P 250685-88-2P
250685-89-3P 250685-90-6P 250685-91-7P
250685-92-8P 250685-93-9P 250685-94-0P
250685-95-1P 250685-96-2P 250685-97-3P
250685-98-4P 250685-99-5P 250686-00-1P
250686-01-2P 250686-02-3P 250686-03-4P
250686-04-5P 250686-05-6P 250686-06-7P
250686-07-8P 250686-08-9P 250686-09-0P
250686-10-3P 250686-11-4P 250686-12-5P
250686-13-6P 250686-14-7P 250686-15-8P
250686-16-9P 250686-17-0P 250686-18-1P
250686-19-2P 250686-20-5P 250686-21-6P
250686-23-8P 250686-24-9P 250686-25-0P
250686-26-1P 250686-27-2P 250686-28-3P
250686-30-7P 250686-31-8P 250686-43-2P
250686-44-3P 250686-45-4P 250686-46-5P
250686-47-6P 250686-48-7P 250686-49-8P
(preparation of 1,3,8-triazaspiro[4.5]decanones and their affinity for opioid receptor subtypes)

RN 250685-86-0 USPATFULL
CN Glycine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

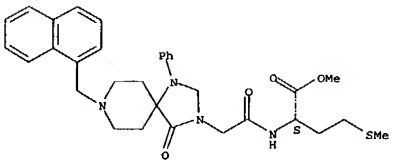


L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



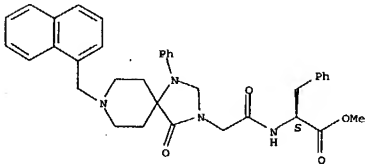
RN 250685-90-6 USPATFULL
CN L-Methionine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250685-91-7 USPATFULL
CN L-Phenylalanine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



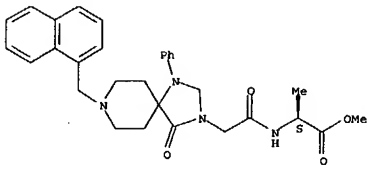
RN 250685-92-8 USPATFULL
CN L-Tryptophan, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

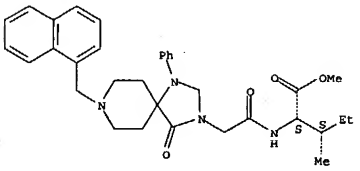
RN 250685-87-1 USPATFULL
CN L-Alanine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250685-88-2 USPATFULL
CN L-Isoleucine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

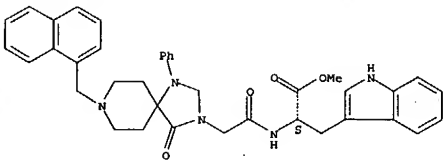
Absolute stereochemistry.



RN 250685-89-3 USPATFULL
CN L-Leucine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

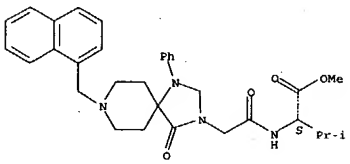
Absolute stereochemistry.

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



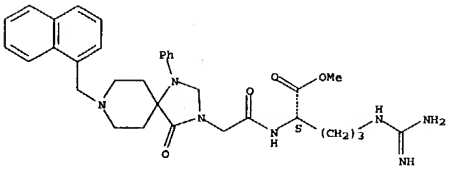
RN 250685-93-9 USPATFULL
CN L-Valine, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250685-94-0 USPATFULL
CN L-Arginine, N2-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

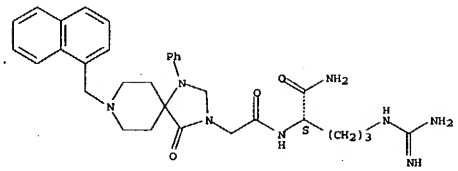
Absolute stereochemistry.



RN 250685-95-1 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

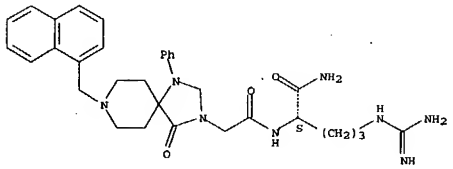


RN 250685-96-2 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 250685-95-1
CMF C32 H40 N8 O3

Absolute stereochemistry.



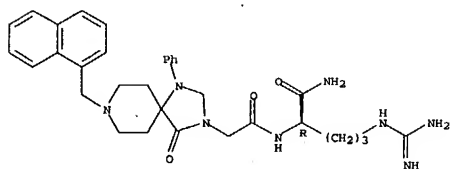
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

RN 250685-97-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1R)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

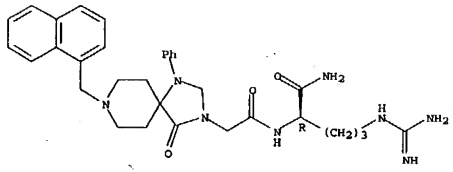


RN 250685-98-4 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1R)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 250685-97-3
CMF C32 H40 N8 O3

Absolute stereochemistry.

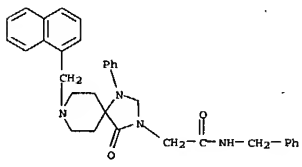


CM 2

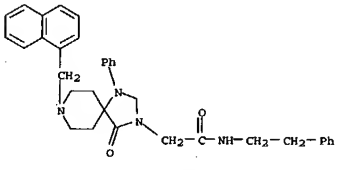
CRN 76-05-1
CMF C2 H F3 O2

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

RN 250685-99-5 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

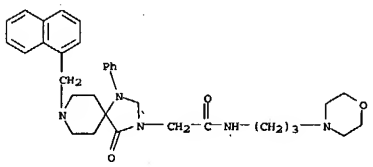


RN 250686-00-1 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

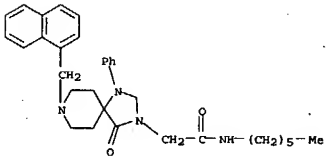


RN 250686-01-2 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[3-(4-morpholinyl)propyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

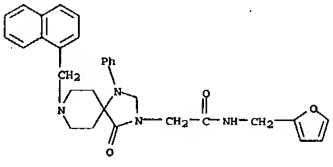
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-02-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-hexyl-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

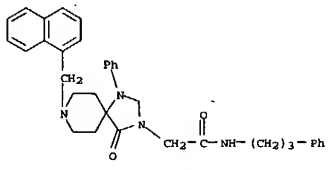


RN 250686-03-4 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(2-furanylmethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

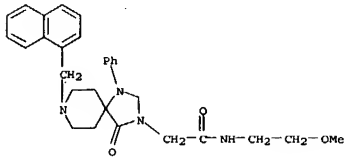


RN 250686-04-5 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

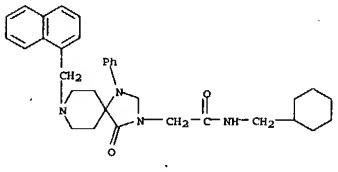
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-05-6 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(2-methoxyethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

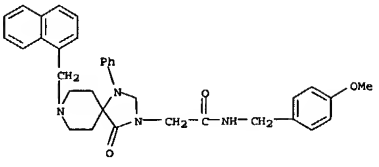


RN 250686-06-7 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(cyclohexylmethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

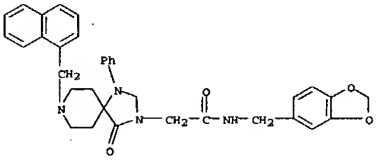


RN 250686-07-8 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(4-methoxyphenyl)methyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

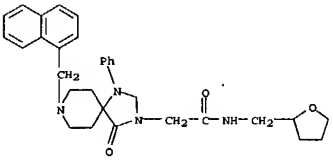
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-08-9 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(1,3-benzodioxol-5-ylmethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

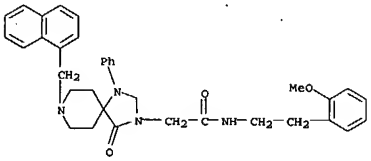


RN 250686-09-0 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

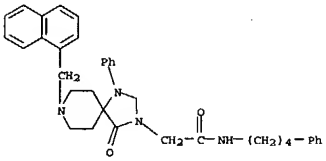


RN 250686-10-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[2-(2-methoxyphenyl)ethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

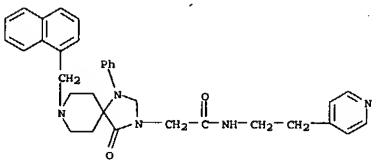
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-11-4 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)

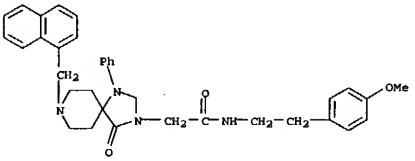


RN 250686-12-5 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

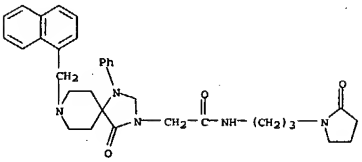


RN 250686-13-6 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[2-(4-methoxyphenyl)ethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

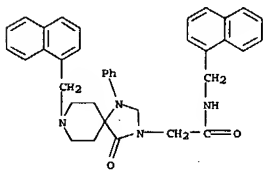
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-14-7 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-1-phenyl- (9CI) (CA INDEX NAME)

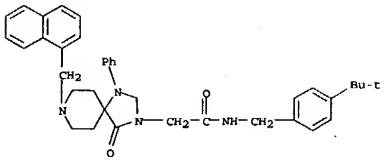


RN 250686-15-8 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N,8-bis(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

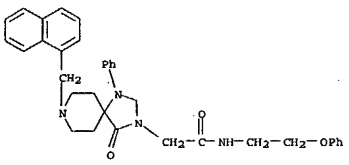


RN 250686-16-9 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[[4-(1,1-dimethylethyl)phenyl]methyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

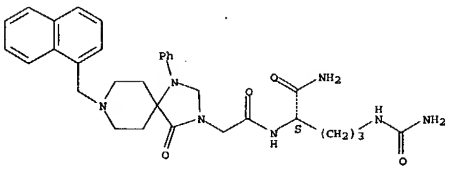


RN 250686-17-0 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-(1-naphthalenylmethyl)-4-oxo-N-(2-phenoxyethyl)-1-phenyl- (9CI) (CA INDEX NAME)



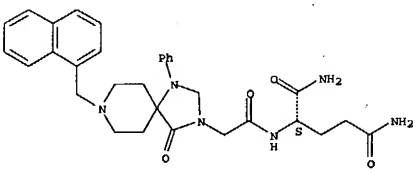
RN 250686-18-1 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-1-(aminocarbonyl)-4-[(aminocarbonyl)amino]butyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



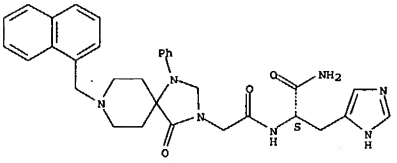
RN 250686-19-2 USPATFULL
CN Pentanediamide, 2-[[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250686-20-5 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-2-amino-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

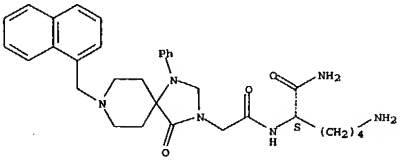
Absolute stereochemistry.



RN 250686-21-6 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-5-amino-1-(aminocarbonyl)pentyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

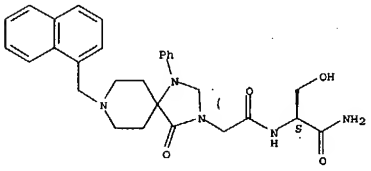
Absolute stereochemistry.

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



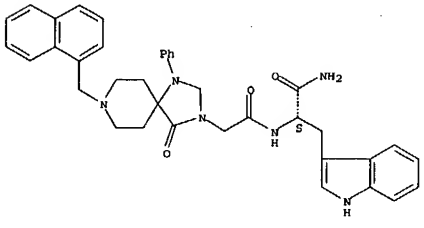
RN 250686-23-8 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-2-amino-1-(hydroxymethyl)-2-oxoethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 250686-24-9 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

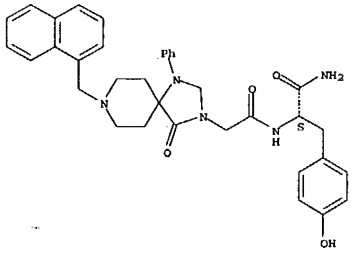
Absolute stereochemistry.



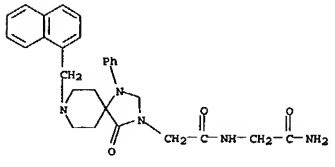
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

RN 250686-25-0 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-2-amino-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



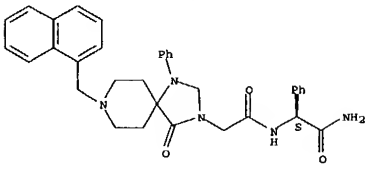
RN 250686-26-1 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(2-amino-2-oxoethyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



RN 250686-27-2 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[(1S)-2-amino-2-oxo-1-phenylethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

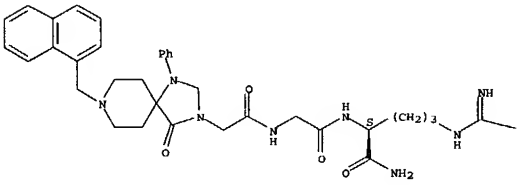
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-28-3 USPATFULL
CN L-Argininamide, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

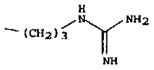
PAGE 1-A



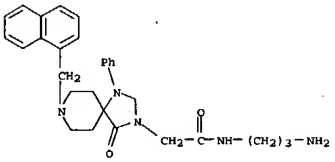
PAGE 1-B

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

PAGE 1-B



RN 250686-43-2 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(3-aminopropyl)-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



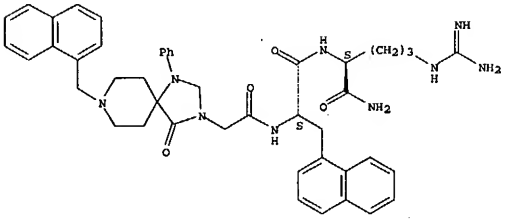
● 2 HCl

RN 250686-44-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[2-[(aminoiminomethyl)amino]ethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

RN 250686-30-7 USPATFULL
CN L-Argininamide, 3-(1-naphthalenyl)-N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-L-alanyl- (9CI) (CA INDEX NAME)

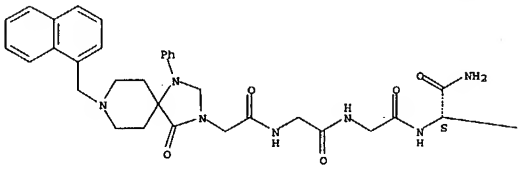
Absolute stereochemistry.



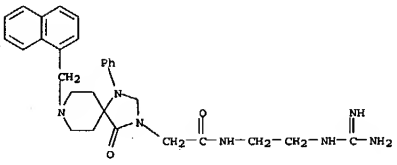
RN 250686-31-8 USPATFULL
CN L-Argininamide, N-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]glycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)

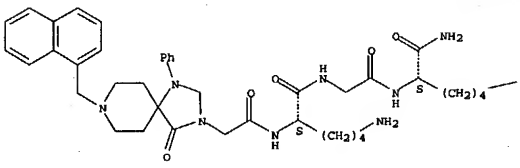


● HCl

RN 250686-45-4 USPATFULL
CN L-Lysinamide, N2-[[8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl]acetyl]-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

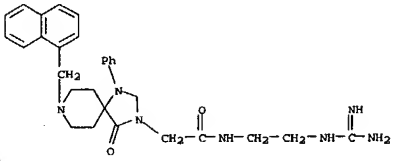
PAGE 1-A



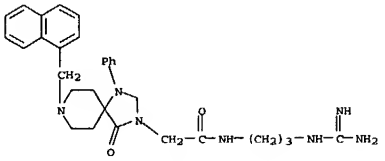
PAGE 1-B

RN 250686-46-5 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[2-[(aminoiminomethyl)amino]ethyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

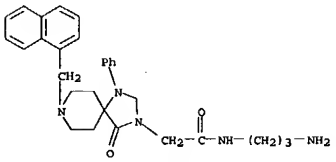
L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



RN 250686-47-6 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-[3-
[(aminoiminomethyl)amino]propyl]-8-(1-naphthalenylmethyl)-4-oxo-1-phenyl-
(9CI) (CA INDEX NAME)



RN 250686-48-7 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(3-aminopropyl)-8-(1-
naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



RN 250686-49-8 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, N-(2-aminoethyl)-8-(1-
naphthalenylmethyl)-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)

L13 ANSWER 6 OF 11 USPATFULL on STN

AB Novel compounds of the formula ##STR1##

or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dotted line represents an optional double bond;

X.sup.1 is optionally substituted alkyl, cycloalkyl, aryl, heteroaryl
or
heterocycloalkyl;

x.sup.2 is --CHO, --CN, optionally substituted amino, alkyl, or aryl;

or X.sup.1 is optionally substituted benzofused heterocyclyl and
X.sup.2
is hydrogen;

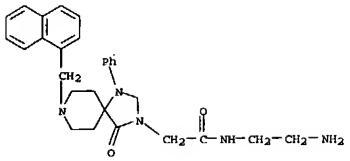
or X.sup.1 and X.sup.2 together form an optionally benzofused spiro
heterocyclyl group

R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are independently H and alkyl, or
(R.sup.1 and R.sup.4) or (R.sup.2 and R.sup.3) or (R.sup.1 and R.sup.3)
or (R.sup.2 and R.sup.4) together can form an alkylene bridge of 1 to 3
carbon atoms;

Z.sup.1 is optionally substituted alkyl, aryl, heteroaryl, cycloalkyl
or
heterocycloalkyl, or --CO.sub.2(alkyl or substituted amino) or CN ;
Z.sup.2 is H or Z.sup.1; Z.sup.3 is H oralkyl; or Z.sup.1, Z.sup.2 and
Z.sup.3, together with the carbon to which they are attached, form
bicyclic saturated or unsaturated rings; pharmaceutical compositions
therefore, and the use of said compounds as nociceptin receptor
inhibitors useful in the treatment of pain, anxiety, cough, asthma,
depression and alcohol abuse are disclosed.

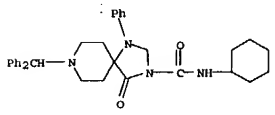
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2001:123584 USPATFULL
TI High affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen, Lebanon, NJ, United States
Ho, Ginny D., Murray Hill, NJ, United States
Silverman, Lisa S., Edison, NJ, United States
Matasi, Julius J., Scotch Plains, NJ, United States
McLeod, Robbie L., Branchburg, NJ, United States
Hey, John A., Nutley, NJ, United States
Chapman, Richard W., Somerville, NJ, United States
Bercovici, Ana, West Orange, NJ, United States
Cuss, Francis M., Basking Ridge, NJ, United States
PI US 2001011092 A1 20010802
US 6455527 B2 20020924
AI US 2001-769824 A1 20010125 (9)
RLI Division of Ser. No. US 1999-359771, filed on 26 Jul 1999, PENDING
PRAI US 1998-94240P 19980727 (60) <--
DT Utility
FS APPLICATION
LREP SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000
GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN 4 Drawing Page(s)
LN.CNT 2266

L13 ANSWER 5 OF 11 USPATFULL on STN (Continued)



L13 ANSWER 6 OF 11 USPATFULL on STN (Continued)

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **256940-47-3P**
(preparation of substituted piperidines as nociceptin receptor ORL-1
agonists for use in treating cough)
RN 256940-47-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-
(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX
NAME)



● HCl

L13 ANSWER 7 OF 11 USPATFULL on STN
AB Novel compounds of the formula ##STR1##

or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dotted line represents an optional double bond;

X.sup.1 is optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or
heterocycloalkyl;

X.sup.2 is --CHO, --CN, optionally substituted amino, alkyl, or aryl;

or X.sup.1 is optionally substituted benzofused heterocyclyl and X.sup.2
is hydrogen;

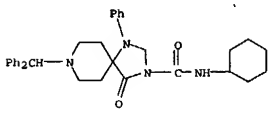
or X.sup.1 and X.sup.2 together form an optionally benzofused Spiro
heterocyclyl group

R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are independently H and alkyl, or
(R.sup.1 and R.sup.4) or (R.sup.2 and R.sup.3) or (R.sup.1 and R.sup.3)
or (R.sup.2 and R.sup.4) together can form an alkylene bridge of 1 to 3
carbon atoms;

Z.sup.1 is optionally substituted alkyl, aryl, heteroaryl, cycloalkyl or
heterocycloalkyl, or --CO.sub.2 (alkyl or substituted amino) or CN;
Z.sup.2 is H or Z.sup.1 ; Z.sup.3 is H oralkyl; or Z.sup.1, Z.sup.2 and
Z.sup.3, together with the carbon to which they are attached, form
bicyclic saturated or unsaturated rings; pharmaceutical compositions
therefore, and the use of said compounds as nociceptin receptor
inhibitors useful in the treatment of pain, anxiety, cough, asthma,
depression and alcohol abuse are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2001:112331 USPATFULL
TI High affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen, Lebanon, NJ, United States
Ho, Ginny D., Murray Hill, NJ, United States
Silverman, Lisa S., Edison, NJ, United States
Matasi, Julius J., Scotch Plains, NJ, United States
McLeod, Robbie L., Branchburg, NJ, United States
Hey, John A., Nutley, NJ, United States
Chapman, Richard W., Somerville, NJ, United States
Bercovici, Ana, West Orange, NJ, United States
Cuse, Francis M., Basking Ridge, NJ, United States
PA Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)
PI US 6262066 B1 20010717
AI US 1999-359771 19990726 (9)
PRAI US 1998-94240P 19980727 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Rao, Deepak R.
LREP Magatti, Anita W.
CLMN Number of Claims: 16

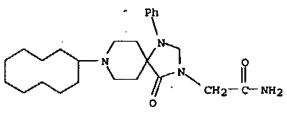
L13 ANSWER 7 OF 11 USPATFULL on STN (Continued)
ECL Exemplary Claim: 1
DRWN 4 Drawing Figure(s); 4 Drawing Page(s)
LN.CNT 2125
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **256940-47-3P**
(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)
RN 256940-47-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 8 OF 11 USPATFULL on STN
AB The present invention relates to compounds of formula I and pharmaceutically acceptable acid addition salts thereof.

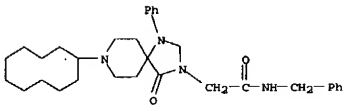
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2000:37922 USPATFULL
TI 1,3,8,-triaza spiro (4,5)decan-4-on derivatives
IN Adam, Geo, Schopfheim, Germany, Federal Republic of
Cesura, Andrea, Basel, Switzerland
Galley, Caido, Rheinfelden, Germany, Federal Republic of
Jenck, Fran.cedilla.ois, Riedisheim, France
Rover, Stephan, Inzlingen, Germany, Federal Republic of
Wichmann, Jurgen, Steinen, Germany, Federal Republic of
PA Hoffman-La Roche Inc., Nutley, NJ, United States (U.S. corporation)
PI US 6043366 20000328
AI US 1998-204184 19981203 (9)
PRAI EP 1997-121427 19971205 <--
DT Utility
FS Granted
EXNAM Primary Examiner: Rotman, Alan L.; Assistant Examiner: Desai, Rita
LREP Johnston, George W., Rocha-Tramaloni, Patricia S., Ebel, Eileen M.
CLMN Number of Claims: 30
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 1533
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **227028-91-3P**, 2-(8-Cyclodecyl-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl)acetamide hydrochloride **227028-94-6P**, N-Benzyl-2-(8-cyclodecyl-4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-3-yl)acetamide hydrochloride
(target compound; preparation of triazaspirodecanone derivs. as OFQ receptor
agonists and antagonists)
RN 227028-91-3 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-cyclodecyl-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 227028-94-6 USPATFULL
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-cyclodecyl-4-oxo-1-phenyl-N-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L13 ANSWER 8 OF 11 USPATFULL on STN (Continued)



● HCl

L13 ANSWER 9 OF 11 USPAT2 on STN
AB Novel compounds of the formula ##STR1##

or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dotted line represents an optional double bond;

X.sup.1 is optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or
heterocycloalkyl;

X.sup.2 is --CHO, --CN, optionally substituted amino, alkyl, or aryl;

or X.sup.1 is optionally substituted benzofused heterocyclyl and X.sup.2
is hydrogen;

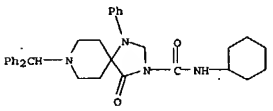
or X.sup.1 and X.sup.2 together form an optionally benzofused spiro
heterocyclyl group

R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are independently H and alkyl, or
(R.sup.1 and R.sup.4) or (R.sup.2 and R.sup.3) or (R.sup.1 and R.sup.3)
or (R.sup.2 and R.sup.4) together can form an alkylene bridge of 1 to 3
carbon atoms;

Z.sup.1 is optionally substituted alkyl, aryl, heteroaryl, cycloalkyl or
heterocycloalkyl, or --CO.sub.2(alkyl or substituted amino) or CN;
Z.sup.2 is H or Z.sup.1; Z.sup.3 is H oralkyl; or Z.sup.1, Z.sup.2 and
Z.sup.3, together with the carbon to which they are attached, form
bicyclic saturated or unsaturated rings; pharmaceutical compositions
therefore, and the use of said compounds as nociceptin receptor
inhibitors useful in the treatment of pain, anxiety, cough, asthma,
depression and alcohol abuse are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2003:106778 USPAT2
TI High affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen, Lebanon, NJ, United States
Ho, Ginny D., Murray Hill, NJ, United States
Silverman, Lisa S., Edison, NJ, United States
Matasi, Julius J., Scotch Plains, NJ, United States
McLeod, Robbie L., Branchburg, NJ, United States
Hey, John A., Nutley, NJ, United States
Chapman, Richard W., Somerville, NJ, United States
Bercovici, Ana, West Orange, NJ, United States
Cuss, Francis M., Basking Ridge, NJ, United States
PA Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)
PI US 6716846 B2 20040406
AI US 2002-155277 20020523 (10)
RLI Division of Ser. No. US 2001-769824, filed on 25 Jan 2001, now patented,
Pat. No. US 6455527 Division of Ser. No. US 1999-359771, filed on 26 Jul
1999, now patented, Pat. No. US 6262066
PRAI US 1998-94240P 19980727 (60) <--

L13 ANSWER 9 OF 11 USPAT2 on STN (Continued)
DT Utility
FS GRANTED
EXNAM Primary Examiner: Rao, Deepak
LREP Magatti, Anita H.
CLMN Number of Claims: 9
ECL Exemplary Claim: 1
DRWN 4 Drawing Figure(s); 4 Drawing Page(s)
LN.CNT 1866
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **256940-47-3P**
(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)
RN 256940-47-3 USPAT2
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

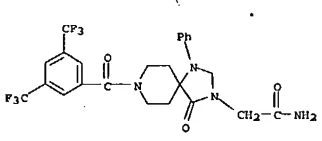


● HCl

L13 ANSWER 10 OF 11 USPAT2 on STN
AB The invention relates to compounds of the formula ##STR1##

as described herein and pharmaceutically acceptable acid addition salts thereof. The described compounds have a good affinity to the NK1 receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2002:12551 USPAT2
TI Substituted heterocyclic siprodecane compound active as an antagonist of
neurokinin 1 receptor
IN Galley, Guido, Rheinfelden, GERMANY, FEDERAL REPUBLIC OF
Godel, Thierry, Basel, SWITZERLAND
Goergler, Annick, Colmar, FRANCE
Hoffmann, Torsten, Weil am Rhein, GERMANY, FEDERAL REPUBLIC OF
Kolczewski, Sabine, Loerrach, GERMANY, FEDERAL REPUBLIC OF
Roever, Stephan, Inzlingen, GERMANY, FEDERAL REPUBLIC OF
PA Hoffmann-La Roche Inc., Nutley, NJ, United States (U.S. corporation)
PI US 6482829 B2 20021119
AI US 2001-861795 20010521 (9)
PRAI EP 2000-112285 20000608 <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Huang, Evelyn Mei
LREP Johnston, George W., Rocha-Tramaloni, Patricia S., Dawson, Arthur D.
CLMN Number of Claims: 211
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 3119
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **380198-55-0P**
(drug; synthesis and use of triazaspirodecanone deriva. as neurokinin receptor antagonists)
RN 380198-55-0 USPAT2
CN 1,3,8-Triazaspiro[4.5]decane-3-acetamide, 8-[3,5-bis(trifluoromethyl)benzoyl]-4-oxo-1-phenyl- (9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 11 USPAT2 on STN
AB Novel compounds of the formula ##STR1##

or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dotted line represents an optional double bond;

X.sup.1 is optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or
heterocycloalkyl;

X.sup.2 is --CHO, --CN, optionally substituted amino, alkyl, or aryl;

or X.sup.1 is optionally substituted benzofused heterocyclyl and X.sup.2
is hydrogen;

or X.sup.1 and X.sup.2 together form an optionally benzofused spiro
heterocyclyl group

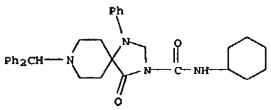
R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are independently H and alkyl, or
(R.sup.1 and R.sup.4) or (R.sup.2 and R.sup.3) or (R.sup.1 and R.sup.3)
or (R.sup.2 and R.sup.4) together can form an alkylene bridge of 1 to 3
carbon atoms;

Z.sup.1 is optionally substituted alkyl, aryl, heteroaryl, cycloalkyl or
heterocycloalkyl, or --CO.sub.2(alkyl or substituted amino) or CN ;
Z.sup.2 is H or Z.sup.1; Z.sup.3 is H oralkyl; or Z.sup.1, Z.sup.2 and
Z.sup.3, together with the carbon to which they are attached, form
bicyclic saturated or unsaturated rings;

pharmaceutical compositions therefore, and the use of said compounds as
nociceptin receptor inhibitors useful in the treatment of pain, anxiety,
cough, asthma, depression and alcohol abuse are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2001:123584 USPAT2
TI High affinity ligands for nociceptin receptor ORL-1
IN Tulshian, Deen, Lebanon, NJ, United States
Ho, Ginny D., Murray Hill, NJ, United States
Silverman, Lisa S., Edison, NJ, United States
Matasi, Julius J., Scotch Plains, NJ, United States
McLeod, Robbie L., Branchburg, NJ, United States
Hey, John A., Nutley, NJ, United States
Chapman, Richard W., Somerville, NJ, United States
Bercovici, Ana, West Orange, NJ, United States
Cuss, Francis M., Basking Ridge, NJ, United States
PA Schering Corporation, Kenilworth, NJ, United States (U.S. corporation)
PI US 6455527 B2 20020924
AI US 2001-769824 20010125 (9)
RLI Division of Ser. No. US 1999-359771, filed on 26 Jul 1999
PRAI US 1998-94240P 19980727 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Rao, Deepak R.
LREP Magatti, Anita W.
CLMN Number of Claims: 9
ECL Exemplary Claim: 1

L13 ANSWER 11 OF 11 USPAT2 on STN (Continued)
DRWN 4 Drawing Figure(s); 4 Drawing Page(s)
LN.CNT 1774
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **256940-47-3P**
(preparation of substituted piperidines as nociceptin receptor ORL-1 agonists for use in treating cough)
RN 256940-47-3 USPAT2
CN 1,3,8-Triazaspiro[4.5]decane-3-carboxamide, N-cyclohexyl-8-(diphenylmethyl)-4-oxo-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

7/15/04

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	132.72	515.48

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.56

STN INTERNATIONAL LOGOFF AT 15:15:00 ON 15 JUL 2004

10656934